- L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2004:633282 CAPLUS Full-text
- DN 141:174197
- TI A preparation of novel substituted 2,3-benzodiazepine derivatives, useful as AMPA receptor antagonists
- IN Solyom, Sandor; Abraham, Gizella; Hamori, Tamas; Berzsenyi, Pal; Andrasi,
 Ferenc; Kurucz, Istvan
- PA Ivax Drug Research Institute, Ltd., Hung.
- SO U.S. Pat. Appl. Publ., 29 pp. CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 1

FAN.CNT 1																			
	PATENT NO.						DATE			APPLICATION NO.									
ΡI	US 2004152693						20040805			US 2003-358053									
	US	S 6858605			B2		20050222												
	ΑU	2004208825				A1		20040819			AU 2004-208825					20040203			
	CA	A 2512616				AA		2004	0819	CA 2004-2512616					20040203				
	WO	2004069197				A2		20040819			WO 2004-US3041					20040203			
	WO	2004069197				А3		2005	0818										
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒŻ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚĖ,	KG,	ΚP,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΑ,	NI	
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	
			BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙĒ,	IT,	LU,	
			MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	
			GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG			•						
	EΡ	1592673				A2		20051109			EP 2004-707792					20040203			
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
											AL,								
	BR	3R 2004007247						2006	0131		BR 2004-7247					20040203			
		N 1747938						2006	0315		CN 2004-80003526					20040203			
	JP	2006516647				Т2		2006	0706		JP 2006-503283								
•	NO	NO 2005004067				Α		2005	1025		NO 2	NO 2005-4067					20050901		
PRAI	US	US 2003-358053																	
	WO 2004-US3041 W 20040203																		
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$$R^1$$
 R^2 R^3 R^4 R^5 R^6 R^6

The invention relates to a preparation of new 2,3-benzodiazepine derivs. of formula I [wherein: R1 and R2 are independently selected from H or C1-3alkyl; R3 is (un)substituted 5- or 6-membered ring containing at least 2 heteroatoms; R6 is (un)substituted phenyl; R4 is H or halogen; R5 is C1-3alkoxy or halogen; R4 and R5 together can be C1-3alkylendioxy group] as AMPA receptor antagonists, useful for the treatment of conditions associated with muscle spasms, epilepsy, acute and chronic forms of neurodegenerative diseases as well as preventing, treating or alleviating the symptoms of acute and chronic inflammatory disorders. For instance, prepared compound II (IC50 = 1-5 μ M)

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inhibit AMPA-induced "spreading depression" in isolated chicken retina (table
     1, example 61). Muscle relaxant activity in mice of the compound II was
     determined (inclined screen ED50 was 10.7 mg/kg; table 4, example 61).
ΙT
     732277-08-6P 732277-09-7P 732277-10-0P
     732277-11-1P 732277-12-2P 732277-13-3P
     732277-14-4P 732277-15-5P 732277-16-6P
     732277-17-7P 732277-18-8P 732277-19-9P
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     732277-62-2P 732277-63-3P 732277-64-4P
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     732277-91-7P 732277-92-8P 732277-94-0P
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     732278-23-8P 732278-24-9P 732278-25-0P
     732278-26-1P 732278-27-2P 732278-28-3P
     732278-29-4P 732278-30-7P 732278-31-8P
     732278-32-9P 732278-33-0P 732278-34-1P
     732278-35-2P 732278-36-3P 732278-37-4P
     732278-38-5P 732278-39-6P 732278-40-9P
     732278-41-0P 732278-42-1P 732278-43-2P
     732278-44-3P 732278-46-5P 732278-47-6P
     732278-48-7P 732278-49-8P 732278-50-1P
     732278-51-2P 732278-52-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of novel substituted 2,3-benzodiazepine derivs., useful as
AMPA
        receptor antagonists)
RN
     732277-08-6 CAPLUS
     7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-
CN
     nitrophenyl)-7-(2-thiazolyl)- (9CI) (CA INDEX NAME)
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RN 732277-09-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-thiazolyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-10-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-thiazolyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732277-11-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(4-methyl-2-thiazolyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-12-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-2-thiazolyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-13-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dimethyl-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-14-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)

RN 732277-15-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 732277-16-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-17-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-18-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-thiazoly1)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732277-19-9 CAPLUS

CN 4(5H)-Thiazolone, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-20-2 CAPLUS

CN 4(5H)-Thiazolone, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)

RN 732277-21-3 CAPLUS

CN 4H-1,3-Thiazin-4-one, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5,6-dihydro-(9CI) (CA INDEX NAME)

RN 732277-23-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(3-methyl-4-nitrophenyl)-7-(2-thiazolyl)- (9CI) (CA INDEX NAME)

RN 732277-24-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-phenyl-7-(2-thiazolyl)-(9CI) (CA INDEX NAME)

RN 732277-25-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (9CI) (CA INDEX NAME)

RN 732277-26-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (9CI) (CA INDEX NAME)

RN 732277-27-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-28-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-29-1 CAPLUS

CN 4(5H)-Thiazolone, 2-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

RN 732277-30-4 CAPLUS

CN 3H-2, 3-Benzodiazepine, 7, 8-dichloro-4, 5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-31-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(4,5-dihydro-2-oxazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-32-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 732277-33-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1,3,4-thiadiazol-2-yl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-34-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-35-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-37-1 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-38-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-39-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-40-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)

RN 732277-41-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(5-phenyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 732277-42-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-[5-(chloromethyl)-1,3,4-thiadiazol-2-yl]-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-43-9 CAPLUS

CN 1,3,4-Thiadiazole-2-methanamine, N-cyclopropyl-5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-45-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 732277-47-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-49-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(3-methyl-4-nitrophenyl)-7-(5-methyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 732277-51-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-methyl-3-nitrophenyl)-7-(5-methyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 732277-52-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-53-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-54-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-6H-1,3,4-thiadiazin-2-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-55-3 CAPLUS

CN 4H-1,3,4-Thiadiazin-5(6H)-one, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-56-4 CAPLUS

CN 1,3,4-Thiadiazol-2(3H)-one, 5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-57-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-oxadiazol-2-yl)-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732277-58-6 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 732277-59-7 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 2-cyclopropyl-5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-60-0 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H- 1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-ethyl- (9CI) (CA INDEX NAME)

RN 732277-61-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-62-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1H-tetrazol-5-yl)- (9CI) (CA INDEX NAME)

RN 732277-63-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1,2,4-oxadiazol-3-yl)- (9CI) (CA INDEX NAME)

RN 732277-64-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

732277-65-5 CAPLUS

RN

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(2-methyl-4-thiazolyl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-67-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 732277-70-2 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(6-chloro-3-pyridazinyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA.INDEX NAME)

RN 732277-72-4 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

RN 732277-74-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(5-methyl-1H-1,2,4-triazol-3-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-75-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

732277-76-8 CAPLUS

RN

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1,3-dimethyl-1H-1,2,4-triazol-5-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-77-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-3-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-78-0 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-5-yl)-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 732277-79-1 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732277-80-4 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732277-81-5 CAPLUS

CN Benzenamine, 4-[(8S)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-82-6 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(4-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732277-83-7 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732277-84-8 CAPLUS

CN Benzenamine, 4-[7-(4,5-dimethyl-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

732277-85-9 CAPLUS

RN

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(4-phenyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732277-86-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 732277-87-1 CAPLUS

CN Benzenamine, 4-[7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732277-88-2 CAPLUS

CN Benzenamine, 4-[(8R)-7-(4,5-dihydro-2-thiazoly1)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732277-89-3 CAPLUS

CN Benzenamine, 4-[(8S)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732277-90-6 CAPLUS

CN 4(5H)-Thiazolone, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732277-91-7 CAPLUS

CN 4(5H)-Thiazolone, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)

RN 732277-92-8 CAPLUS

CN 4H-1,3-Thiazin-4-one, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-5,6-dihydro-(9CI) (CA INDEX NAME)

RN 732277-94-0 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 732277-95-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732277-96-2 CAPLUS

Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(2-thiazolyl)-3H-2,3-CN benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732277-97-3 CAPLUS

Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-CN 2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN

732277-98-4 CAPLUS
Benzenamine, 4-[8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732277-99-5 CAPLUS

CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (9CI) (CA INDEX NAME)

RN 732278-00-1 CAPLUS

CN Benzenamine, 4-[7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732278-01-2 CAPLUS

CN Benzenamine, 4-[7-(4,5-dihydro-2-oxazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-02-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-03-4 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732278-04-5 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-05-6 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732278-06-7 CAPLUS

CN Benzenamine, 4-[7-(5-cyclopropyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-07-8 CAPLUS

CN Benzenamine, 4-[7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-08-9 CAPLUS

CN Benzenamine, 4-[(8R)-7-(5-ethyl-1,3,4-thiadiazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732278-09-0 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-10-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-phenyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-11-4 CAPLUS

CN 1,3,4-Thiadiazole-2-methanamine, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732278-12-5 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732278-14-7 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732278-15-8 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 732278-16-9 CAPLUS

CN Benzenamine, 5-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl-(9CI) (CA INDEX NAME)

RN. 732278-17-0 CAPLUS

CN Benzenamine, 3-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-18-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (9CI) (CA INDEX NAME)

RN 732278-19-2 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-6H-1,3,4-thiadiazin-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-(9CI) (CA INDEX NAME)

RN 732278-20-5 CAPLUS

CN 4H-1,3,4-Thiadiazin-5(6H)-one, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732278-21-6 CAPLUS

CN 1,3,4-Thiadiazol-2(3H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732278-22-7 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-oxadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732278-23-8 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 732278-24-9 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-cyclopropyl- (9CI) (CA INDEX NAME)

RN 732278-25-0 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-2-ethyl- (9CI) (CA INDEX NAME)

RN 732278-26-1 CAPLUS

CN 5-Thiazolecarboxylic acid, 2-[5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]- (9CI) (CA INDEX NAME)

RN 732278-27-2 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1H-tetrazol-5-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-28-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1,2,4-oxadiazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-29-4 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1,2,4-oxadiazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-30-7 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-methyl-4-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-31-8 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(2-pyrimidinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-32-9 CAPLUS

CN Benzenamine, 4-[7-(6-chloro-3-pyridazinyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-33-0 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1H-1,2,4-triazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-34-1 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(5-methyl-1H-1,2,4-triazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

732278-35-2 CAPLUS

RN

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-5-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-36-3 CAPLUS

CN Benzenamine, 4-[8,9-dihydro-8-methyl-7-(1-methyl-1H-1,2,4-triazol-3-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-37-4 CAPLUS

CN Benzenamine, 4-[7-(1,3-dimethyl-1H-1,2,4-triazol-5-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-38-5 CAPLUS

CN Benzenamine, 4-[7-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 732278-39-6 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(5-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 732278-40-9 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(4-methyl-2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 732278-41-0 CAPLUS

CN Acetamide, N-[4-[7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 732278-42-1 CAPLUS

CN Acetamide, N-[4-[(8R)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN_ 732278-43-2 CAPLUS

CN Acetamide, N-[4-[(8S)-8,9-dihydro-8-methyl-7-(2-thiazolyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732278-44-3 CAPLUS

CN Acetamide, N-[4-[(8R)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 732278-46-5 CAPLUS

CN Acetamide, N-[4-[(8S)-7-(4,5-dihydro-2-thiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732278-47-6 CAPLUS

CN Acetamide, N-[4-[7-(4,5-dihydro-2-oxazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 732278-48-7 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(2-pyrimidinyl)-7H-1,3-dioxolo[4,5-, h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 732278-49-8 CAPLUS

CN Acetamide, N-[4-[7-(6-chloró-3-pyridazinyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 732278-50-1 CAPLUS

CN Acetamide, N-[4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 732278-51-2 CAPLUS

CN Acetamide, N-[4-[8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 732278-52-3 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(5-methyl-1,3,4-thiadiazol-2-yl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 1999:504262 CAPLUS Full-text

DN 131:252100

TI Synthesis and anticonvulsant activity of 3-aryl-5H-2,3-benzodiazepine AMPA antagonists

AU Anderson, Benjamin A.; Harn, Nancy K.; Hansen, Marvin M.; Harkness, Allen R.; Lodge, David; Leander, J. David

CS Lilly Research Laboratories, A Division of Eli Lilly and Company, Chemical Process Research and Development Division, Indianapolis, IN, 46285, USA

SO Bioorganic & Medicinal Chemistry Letters (1999), 9(14), 1953-1956 CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

GΙ

AB A novel series of 3-aryl-5H-2, 3-benzodiazepines (I, R = aryl) with N-3 aromatic substituents was synthesized. Good in vivo anticonvulsant activity of the new compds. was demonstrated employing the maximal electroshock seizure test in mice. Evaluation of a subset of the compds. in the cortical wedge assay confirmed the new structures to be AMPA antagonists.

IT 178751-13-8P 245120-82-5P 245120-83-6P 245120-84-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and anticonvulsant activity of 3-aryl-5H-2,3-benzodiazepine AMPA antagonists)

RN 178751-13-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 245120-82-5 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 5-(4-chlorophenyl)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

RN 245120-83-6 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-5-(4-iodophenyl)-8-methyl-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 245120-84-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-phenyl-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 178751-16-1P 178751-17-2P 178751-19-4P 178751-22-9P 245120-78-9P 245120-80-3P

245120-81-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and anticonvulsant activity of 3-aryl-5H-2,3-benzodiazepine AMPA antagonists)

RN 178751-16-1 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-17-2 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1-phthalazinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 178751-19-4 CAPLUS

CN Benzenamine, 4-[(8R)-7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-22-9 CAPLUS

CN Benzenamine, 4-[(8R)-7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 245120-78-9 CAPLUS

CN 3-Thiophenecarboxylic acid, 2-[(8R)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 245120-80-3 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-quinolinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

RN 245120-81-4 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-[4-(trifluoromethyl)-2-pyrimidinyl]-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 1998:564198 CAPLUS Full-text

DN 129:189346

TI Preparation of 8,9-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepines as anticonvulsants

IN Anderson, Benjamin A.; Hansen, Marvin M.; Harn, Nancy K.

PA Eli Lilly and Co., USA

SO U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 413,029, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 8

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The title compds. [I; R = H, C1-10 alkyl; X = (un)substituted Ph, thienyl, furyl, etc.; Aryl = 4-O2NC6H4, (un)protected 4-H2NC6H4], an AMPA receptor antagonists useful as anticonvulsants, were prepared and formulated. Thus, reaction of (S)-1-{(4-nitrophenyl)-{5-[4-(2-hydroxypropyl)-1,2-methylenedioxyphenyl]}}-2-phenyldiazane with MeSO2Cl in the presence of Et3N in CH2Cl2 followed by treatment of the resulting intermediate with tBuOLi in THF afforded 51% (R)-I [R = Me; X = Ph; Aryl = 4-O2NC6H4]. Compds. I are effective at 0.1-20 mg/kg.

IT .178751-13-8P 178751-14-9P 178751-18-3P

178751-20-7P 178751-23-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 8,9-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepines as anticonvulsants)

RN 178751-13-8 CAPLUS

CN 7H-1, 3-Dioxolo[4,5-h][2,3] benzodiazepine, 8, 9-dihydro-8-methyl-5-(4-h)

nitrophenyl)-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-14-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-phthalazinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-18-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-20-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-23-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 178751-16-1P 178751-17-2P 178751-19-4P

178751-22-9P 178751-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 8,9-dihydro-1,3-dioxolo[4,5-h][2,3]benzodiazepines as anticonvulsants)

RN 178751-16-1 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-17-2 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1-phthalazinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-19-4 CAPLUS

CN Benzenamine, 4-[(8R)-7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-22-9 CAPLUS

CN Benzenamine, 4-[(8R)-7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-24-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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    1996:431371 CAPLUS Full-text
DN.
    125:86694
TI
    Dihydro-2,3-benzodiazepine derivatives useful as anticonvulsants.
ΙN
    Anderson, Benjamin Alan; Hansen, Marvin Martin; Harn, Nancy Kay
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     Eli Lilly and Co., USA
     PCT Int. Appl., 42 pp.
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     CODEN: PIXXD2
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ANSWER 4 OF 4 CAPLUS COPYRIGHT 2006 ACS on STN

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OS

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MARPAT 125:86694

AB Title compds. I [R = H or C1-10 alkyl; X = (un) substituted Ph, thienyl, furyl,]pyridyl, imidazolyl, benzimidazolyl, benzothiazoyl or phthalazinyl (substituents = halo, OH, cyano, NO2, C1-6 alkyl, C3-6 cycloalkyl, C1-4 alkoxy, CO2H, C1-6 alkoxycarbonyl, Ac, CHO, CH2CO2H, CH2OH, NH2, CH2NH2, OCH2O, CF3); Ar = C6H4NO2-p, (un)protected C6H4NH2-p] and their pharmaceutically acceptable salts are disclosed. I are AMPA receptor antagonists, and are particularly useful as anticonvulsants. For instance, reduction of the carbonyl group in (3,4-methylenedioxyphenyl)acetone by Zygosaccharomyces rouxii gave 85-90% (S)- α -methyl-1,3- benzodioxole-5-ethanol with 100% enantiomeric excess. This was cyclized with p-O2NC6H4CHO to give 86% dioxolobenzopyran derivative II [R' = H], which was oxidized with air and aqueous NaOH in DMSO-DMF mixture to give II [R' = OH]. Reaction of the latter with 2-hydrazinobenzimidazole in EtOH in the presence of HCl, followed by recyclization using the Mitsunobu reaction, gave title compound II [R'' = NO2, which was hydrogenated to give 76.5% II [R'' = NH2]. The latter had ED50 of 3.2 mg/kg in a bioassay described by Leander [Epilepsia, 33(3), 573-576, 1992]. Eight formulations are listed.

IT 178751-13-8P 178751-14-9P 178751-18-3P 178751-20-7P 178751-23-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of dihydrobenzodiazepine derivs. as AMPA receptor antagonists and anticonvulsants)

RN 178751-13-8 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(2-pyridinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-14-9 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7-(1-phthalazinyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-18-3 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-20-7 CAPLUS

CN 7H-1,3-Dioxolo[4,5-h][2,3]benzodiazepine, 7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-23-0 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-8,9-dihydro-8-methyl-5-(4-nitrophenyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 178751-16-1P 178751-17-2P 178751-19-4P

178751-22-9P 178751-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrobenzodiazepine derivs. as AMPA receptor antagonists and anticonvulsants)

RN 178751-16-1 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(2-pyridinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-17-2 CAPLUS

CN Benzenamine, 4-[(8R)-8,9-dihydro-8-methyl-7-(1-phthalazinyl)-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-19-4 CAPLUS

CN Benzenamine, 4-[(8R)-7-(2-benzothiazolyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-22-9 CAPLUS

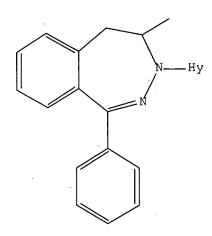
CN Benzenamine, 4-[(8R)-7-(1H-benzimidazol-2-yl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178751-24-1 CAPLUS

CN 2-Thiophenecarboxylic acid, 3-[(8R)-5-(4-aminophenyl)-8,9-dihydro-8-methyl-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepin-7-yl]-, methyl ester (9CI) (CA INDEX NAME)

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CA SUBSCRIBER PRICE	-3.00	-3.00

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